# (19) World Intellectual Property Organization International Bureau



## 

### (43) International Publication Date 9 February 2006 (09.02.2006)

# (10) International Publication Number WO 2006/014185 A1

(51) International Patent Classification:

 C07D 413/12 (2006.01)
 A61K 31/519 (2006.01)

 C07D 413/14 (2006.01)
 A61P 25/28 (2006.01)

 C07D 487/04 (2006.01)
 C07D 413/06 (2006.01)

(21) International Application Number:

PCT/US2005/004774

(22) International Filing Date:

15 February 2005 (15.02.2005)

(25) Filing Language:

English

US

(26) Publication Language:

English

(30) Priority Data:

60/608,960 18 February 2004 (18.02.2004)

- (71) Applicants (for all designated States except US): ASTRAZENECA AB [SE/SE]; S-151 85 Södertälje (SE). NPS PHARMACEUTICALS, INC. [US/US]; 383 Colorow Drive, Salt Lake City, UT 84108 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): EDWARDS, Louise [CA/CA]; NPS Allelix Corp., 6850 Goreway Drive, Mississauga, Ontario L4V 1V7 (CA). ISAAC, Methvin [CA/CA]; NPS Allelix Corp, 6850 Goreway Drive, Missassauga, Ontario L4V 1V7 (CA). JOHANSSON, Martin [SE/SE]; AstraZeneca R & D Headquarters, Global Intellectual Property, S-151 85 Södertälje (SE). KERS, Annika [SE/SE]; AstraZeneca R & D Headquarters, Global Intellectual Property, S-151 85 Södertälje (SE). MALMBERG, Johan [SE/SE]; AstraZeneca R & D Headquarters, Global Intellectual Property, S-151 85 Södertälje (SE). MCLEOD, Donald [US/US]; NPS Pharmaceuticals, Inc., 383 Colorow Drive, Salt Lake City, UT 84108 (US). MINIDIS, Alexander [SE/SE]; Astrazeneca R & D Headquarters, Global Intellectual Property, S-151 85 Södertälje (SE). STAAF, Karin [SE/SE]; Astrazeneca R & D Headquarters, Global Intellectual Property, S-151 85 Södertälje (SE). SLASSI, Abdelmalik [CA/CA]; NPS Allelix Corp., 6850 Goreway Drive, Mississauga, Ontario L4V 1V7 (CA). STEFANAC, Tomislav [CA/CA]; NPS Allelix Corp., 6850 Goreway Drive, Mississauga, Ontario L4V 1V7 (CA). STORMANN, Thomas [US/US]; NPS Pharmaceuticals, Inc., 383 Colorow Drive, Salt Lake City, UT 84108 (US). WENSBO, David [SE/SE]; AstraZeneca

R & D Headquarters, Global Intellectual Property, SE-151 85 Södertälje (SE). XIN, Tao. ARORA, Jalaj.

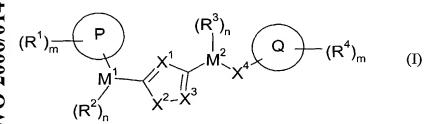
- (74) Agents: MEIKLE, Andrew, Duff et al.; Birch, Stewart, Kolasch & Birch, LLP, Suite 100 East, 8110 Gatehouse Road, P.O. Box 747, Falls Church, VA 22040-0747 (US).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

#### **Declarations under Rule 4.17:**

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE,

[Continued on next page]

(54) Title: ADDITIONAL HETEROPOLYCYCLIC COMPOUNDS AND THEIR USE AS METABOTROPIC GLUTAMATE RECEPTOR ANTAGONISTS



(57) Abstract: The present invention relates to new compounds of formula (I), to pharmaceutical formulations containing the compounds, and to the use of the compounds in the prevention and/or treatment of mGluR5 receptor-mediated disorders.

### WO 2006/014185 A1

AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

— as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations

 as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations

#### **Published:**

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.